```
=> s l8 (10a) (volatile or ethanol or methanol or propanol or butanol or ((ethyl or
methyl or propyl or isopropyl or n-poropyl or butyl) (2a) alcohol))
L11       19968 L8 (10A) (VOLATILE OR ETHANOL OR METHANOL OR PROPANOL OR BUTANOL
                 OR ((ETHYL OR METHYL OR PROPYL OR ISOPROPYL OR N-POROPYL OR
                BUTYL) (2A) ALCOHOL))

=> s l11 and l9
L12       19968 L11 AND L9

=> d his

     (FILE 'HOME' ENTERED AT 07:04:04 ON 03 DEC 2003)

     FILE 'USPATFULL' ENTERED AT 07:04:17 ON 03 DEC 2003
L1         15265 S ANESTHETIC
L2         29641 S ANESTHETIC OR ANESTHESI?
L3          1723 S BENZOCAIN? OR BENZOCAINE
L4          1723 S BENZOCAIN?
L5          7279 S ?CAIN? AND L2
L6         17819 S L2 AND (VOLATILE OR ALCOHOL OR ETHANOL OR METHANOL OR PROPANO
L7          5870 S L5 AND (VOLATILE OR ALCOHOL OR ETHANOL OR METHANOL OR PROPANO
L8        486995 S % OR PERCENT
L9         28558 S L8 (10A) (VOLATILE OR ALCOHOL OR ETHANOL OR METHANOL OR PROPA
L10          229 S L7 AND L9
L11        19968 S L8 (10A) (VOLATILE OR ETHANOL OR METHANOL OR PROPANOL OR BUTA
L12        19968 S L11 AND L9

=> s l7 and l11
L13          155 L7 AND L11

=> d 20-50 kwic, pi
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=> s (% or percent) (3a) (60 or 65 or 70 or 75 or 80)
L14      131332 (% OR PERCENT) (3A) (60 OR 65 OR 70 OR 75 OR 80)

=> s l14 (10a) (volatile or ethanol or methanol or propanol or butanol or ((ethyl
or methyl or propyl or isopropyl or n-poropyl or butyl) (2a) alcohol))
L15        3733 L14 (10A) (VOLATILE OR ETHANOL OR METHANOL OR PROPANOL OR BUTANO
                L OR ((ETHYL OR METHYL OR PROPYL OR ISOPROPYL OR N-POROPYL OR
                BUTYL) (2A) ALCOHOL))

=> s l7 and l15
L16          18 L7 AND L15

=> d 1-18 hit, pi
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ETD 4.0 g. of 2-(p-ethoxybenzoyl)-3-(.beta.-N-methylbenzylaminoethoxy)-5-methylbenzofuran-hydrochloride were dissolved in **70** ml. of 95 **percent ethanol**. A suspension of 0.5 g. of palladized charcoal in 10 ml. of 95 percent **alcohol** was added and the mixture was hydrogenated until 229 ml. of hydrogen had been absorbed. The reaction mixture was filtered, the filtrate was evaporated and the residue recrystallized from **ethanol**, giving 1.8 g. of the hydrochloride of 2-(p-ethoxybenzoyl)- 3-(.beta.-methylaminoethoxy)-5-methylbenzofuran (m.p. 183.degree.-190.degree. C. Equivalent weight: calculated 390, found 388).

DETD 5.0 of 2-(p-ethoxybenzoyl)-3-(.beta.-pyrrolidinoethoxy)-5-nitro-benzofuran-hydrochloride were dissolved in 100 ml. of **80 percent ethanol** and hydrogenated using palladized charcoal as catalyst. The reaction mixture was filtered, the filtrate evaporated and the residue recrystallized from acetone, giving 3.2 g. of the hydrochloride of 2-(p-ethoxybenzoyl)-3-(.beta.-pyrrolidinoethoxy)-5-aminobenzofuran (m.p. 165.degree.-185.degree. C. Equivalent weight: calculated 431, found 435).

DETD 8.3 g. of the hydrochloride of 2-(p-ethoxybenzoyl)-3-(.beta.-pyrrolidinoethoxy)-6-(p-ethoxybenzoyloxy)-benzofuran was dissolved in 50 ml. of 95 percent **ethanol** and a solution of 4.0 g. of potassium hydroxide in 30 ml. of 95 percent **ethanol** was added. The mixture was boiled under reflux for 1 hour and the **ethanol** was distilled off after dilution with water. The residue was acidified with hydrochloric acid and then made alkaline with sodium carbonate. The resulting crystals of 2-(p-ethoxybenzoyl)-3-(.beta.-pyrrolidinoethoxy)-6-hydroxybenzofuran were collected. The base was converted into the hydrochloride (3.5 g. after recrystallization from **ethanol**) having an m.p. of 200.degree.-214.degree. C. and an equivalent weight: calculated 432, found 439.

DETD 10.0 g. of 2-(p-ethoxybenzoyl)-3-(.beta.-N.sup.1 -benzylpiperazinoethoxy)-5-methylbenzofuran-hydrochloride were dissolved in 100 ml. 95 percent **ethanol**. The solution was hydrogenated with 420 ml. of hydrogen using 0.5 g. of palladized charcoal is catalyst. After filtration the **ethanol** was evaporated. Recrystallization from **ethanol** gave 6.7 of the dihydrochloride of 2-(p-ethoxybenzoyl)- 3-(.beta.-piperanzinoethoxy)-5-methylbenzofuran (m.p. 160.degree.-170 .degree. C. Equivalent weight: calculated 241, found 245).

DETD

2 -(p-ethoxybenzoyl)-3-(.beta.-pyrrolidinoethoxy) 5,6-dimethylbenzofuran	2.0g
saccharin	0.6g
sugar	3.0g
glycerin	5.0g
distilled water	10.0g
aroma	0.1g
ethanol 96%	100.0 ml.

DETD The sugar and saccharin were dissolved in hot water. On cooling, the solution was made up to weight with water and the glycerin was added. The aqueous solution was poured into a solution of active substance and aroma in about 65 ml. of **ethanol** and was then made up to 100 ml. with **ethanol**.

DETD Local **anesthetic** effect

DETD The local **anesthetic** effect was estimated on rabbit cornea according to the method described in Wiedling, Acta Pharmacol, et toxicol. 8 (1952), 117. As a comparison the effect of **lidocaine** was estimated by using the same method. The effect of the compounds of the invention is recorded in parts of the effect of **lidocaine**.

CLM What is claimed is:

1. A pharmaceutical preparation useful as an analgesic, antipyretic, antiinflammatory, antitussive, local **anesthetic**, antispasmodic or antihistaminic comprising, as an active ingredient, a compound

selected from the class consisting of the benzofuran derivatives represented by the formula: wherein R is a radical selected from the class consisting of phenyl, phenyl substituted by alkyl having from one to two carbon atoms, phenyl substituted by alkoxy having from one to four carbon atoms, dioxymethylene substituted phenyl, fluorine substituted phenyl, chlorine substituted phenyl, bromine substituted phenyl, and trifluoromethyl substituted phenyl; R.sup.1 is a radical selected from the class consisting of hydrogen, fluorine, chlorine, bromine, alkyl having from one to five carbon atoms, and alkoxy having from one to four carbon atoms; R.sup.2 is a radical selected from the class consisting of hydrogen, fluorine, chlorine, bromine, alkyl having from one to five carbon atoms, alkoxy with one to four carbon atoms, nitro, amino, hydroxy, provided that R.sup.1 is hydrogen when R.sup.2 is selected from the group consisting of nitro, amino, hydroxy; A is alkylene having from two to four carbon atoms; and -NR.sup.3 R.sup.4 is a group selected from the class consisting of alkylamino of from one to five carbon atoms, dialkylamino of from one to five alkyl carbon atoms in each alkyl group, pyrrolidino, piperidino, hexamethyleneimino, morpholino, dimethylmorpholino, piperazino, N-methylpiperazino, and tetrahydropyridino; and pharmaceutically acceptable salts thereof in association with a pharmaceutically acceptable carrier, said active substance being present in an amount within the range

PI US 3665074 19720523

4. A pharmaceutical composition of matter comprising a first ingredient selected from the group of pharmaceutically acceptable topical absorption promoters consisting of trichloroethanol, trifluoroethanol and mixtures thereof, and about 0.01 to 30 percent by weight of at least one local, topically administered **anesthetic** drug as a second ingredient, and wherein when topically administered, the first ingredient is an absorption promotor for increasing the retention and percutaneous absorption of an effective amount of the second **anesthetic** ingredient.

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64. The system of claim 49 wherein the local **anesthetic** agent is selected from the group consisting of **benzocaine**, benzyl benzoate, **bupivacaine**, calamine, **chloroprocaine**, chloroxylenol, **cinchocaine**, **cocaine**, **dexivacaine**, **diamocaine**, **dibucaine**, dyclonine, **etidocaine**, **hexylcaine**, ketamine, **levobupivacaine**, **lidocaine**, menthol, **mepivacaine**, oxethazaine, phenol, pramoxine, **prilocaine**, **procaine**, **proparacaine**, **propoxycaine**, **pyrrocaine**, resorcinol, **risocaine**, **rodocaine**, **ropivacaine**, **tetracaine**, troclosan, and pharmaceutically acceptable derivatives thereof, and combinations thereof.

65. The system of claim 64 wherein the local **anesthetic** agent is selected from the group consisting of **bupivacaine**, **chloroprocaine**, **dibucaine**, **etidocaine**, **levobupivacaine**, **lidocaine**, **mepivacaine**, **prilocaine**, **ropivacaine**, **tetracaine**, and pharmaceutically acceptable derivatives thereof.

PI US 2002197284 A1 20021226